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CLAIMS

1. A thienopyrimidine or thienopyridine derivative substituted with a cyclic amino group represented by the following formula [I]:

$$X-(CHR^3)_{\overline{n}}-(CR^1R^2)_m$$

$$R^4$$

$$R^5$$

$$N$$

$$Y$$

$$R^6$$

(wherein the cyclic amino group is represented by the following formula [II]:

$$X-(CHR^3)_n-(CR^1R^2)_m$$
 R^4
 $N-$
[II]

in which the cyclic amino group is a 3- to 8-membered saturated cyclic amine or a 3- to 8-membered saturated cyclic amine bridged with C_{1-5} alkylene or C_{1-4} alkylene-O- C_{1-4} alkylene between any different two carbon atoms of the cyclic amine, which cyclic amine is substituted with a group represented by -(CR^1R^2)_m-(CHR^3)_n-X, R^4 and R^5 independently on the same or different carbon atoms of the cyclic amine;

X is cyano, hydroxy, -CO₂R⁸ or -CONR⁹R¹⁰;

Y is N or CR11;

R¹ is hydrogen, hydroxy, C₁₋₅alkyl, C₁₋₅alkoxy-C₁₋₅alkyl or hydroxy-C₁₋₅

₅alkyl;

R² is hydrogen or C₁₋₅alkyl;

 R^3 is hydrogen, cyano, C_{1-5} alkyl, C_{1-5} alkoxy- C_{1-5} alkyl or hydroxy- C_{1-5}

5alkyl;

m is an integer selected from 0, 1, 2, 3, 4 and 5;

n is 0 or 1;

 R^4 is hydrogen, hydroxy, hydroxy- C_{1-5} alkyl, cyano, cyano- C_{1-5} alkyl or C_{1-5} alkyl;

R⁵ is hydrogen or C₁₋₅alkyl;

R⁶ is hydrogen, C₁₋₅alkyl, C₃₋₈cycloalkyl, C₃₋₈cycloalkyl-C₁₋₅alkyl, hydroxy, C₁₋₅alkoxy, C₃₋₈cycloalkyloxy, halogen, C₁₋₅alkylthio or -N(R¹²)R¹³;

 R^7 is hydrogen, halogen, C_{1-5} alkyl, C_{3-8} cycloalkyl, C_{3-8} cycloalkyl- C_{1-5} alkyl, hydroxy, C_{1-5} alkoxy, C_{3-8} cycloalkyloxy, -N(R^{14}) R^{15} , -CO₂ R^{16} , -CON(R^{17}) R^{18} , cyano, nitro, C_{1-5} alkylthio, trifluoromethyl or trifluoromethoxy;

Ar is aryl or heteroaryl which aryl or heteroaryl is unsubstituted or substituted with 1 or more substituents, which are the same or different, selected from the group consisting of halogen, C₁₋₅alkyl, C₃₋₈cycloalkyl, C₂₋₅alkenyl, C₂₋₅alkynyl, C₁₋₅alkoxy, C₁₋₅alkylthio, C₁₋₅alkylsulfinyl, C₁₋₅alkylsulfonyl, cyano, nitro, hydroxy, -CO₂R¹⁹, -C(=O)R²⁰, -CONR²¹R²², -OC(=O)R²³, -NR²⁴CO₂R²⁵, -S(=O)_rNR²⁶R²⁷, trifluoromethyl, trifluoromethoxy, difluoromethoxy, fluoromethoxy, methylenedioxy, ethylenedioxy and -N(R²⁸)R²⁹;

 R^8 is hydrogen, C_{1-10} alkyl, C_{3-8} cycloalkyl, C_{3-8} cycloalkyl- C_{1-5} alkyl, aryl or aryl- C_{1-5} alkyl;

 R^9 and R^{10} are the same or different, and independently are hydrogen, C_{1-5} alkyl, C_{3-8} cycloalkyl, C_{3-8} cycloalkyl- C_{1-5} alkyl, aryl or aryl- C_{1-5} alkyl; or R^9 and R^{10} form a ring selected from saturated 3 to 8 membered ring with the attached nitrogen atom, wherein one of the carbon atoms of such saturated 3 to 8 membered ring is optionally replaced by an oxygen or sulfur atom or by N-Z wherein Z is hydrogen, benzyl or C_{1-5} alkyl;

R¹¹ is hydrogen, halogen or C₁₋₅alkyl;

 $R^{12},\,R^{13},\,R^{14}$ and R^{15} are the same or different, and independently are hydrogen or $C_{1\text{-}5}$ alkyl;

 R^{16} , R^{19} and R^{25} are the same or different, and independently are hydrogen or C_{1-5} alkyl, C_{3-8} cycloalkyl, C_{3-8} cycloalkyl- C_{1-5} alkyl, aryl or aryl- C_{1-5} alkyl;

 R^{17} , R^{18} , R^{20} , R^{21} , R^{22} , R^{23} , R^{24} , R^{26} , R^{27} , R^{28} and R^{29} are the same or different, and independently are hydrogen, C_{1-5} alkyl or C_{3-8} cycloalkyl;

r is 1 or 2)

, individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, pharmaceutically acceptable prodrugs thereof or pharmaceutically acceptable salts and hydrates thereof.

2. The thienopyrimidine derivative substituted with the cyclic amino group according to claim 1 represented by the following formula [III]:

$$X-(CHR^3)_{\overline{n}}(CR^1R^2)_{\overline{m}}$$
 R^4
 R^5
 N
 N
 R^6

[III]

(wherein X, m, n, the cyclic amino group, R¹, R², R³, R⁴, R⁵, R⁶, R⁷ and Ar are as defined in claim 1), individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.

- 3. The thienopyrimidine derivative substituted with the cyclic amino group according to claim 2 represented by formula [III], wherein X is cyano; the cyclic amino group is a 4- to 7-membered saturated cyclic amine; n is 0; m is an integer selected from 0, 1, 2 and 3; R¹, R², R⁴ and R⁵ are hydrogen; R⁶ is C₁₋₅alkyl; R⁷ is hydrogen or C₁₋₅alkyl; and Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen, C₁₋₃alkyl, C₁₋₃alkoxy, C₁₋₃alkylthio, trifluoromethyl, trifluoromethoxy and –N(R²⁸)R²⁹ (wherein R²⁸ and R²⁹ are the same or different, and independently are hydrogen or C₁₋₃alkyl), individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.
- 4. The thienopyrimidine derivative substituted with the cyclic amino group according to claim 2 represented by formula [III], wherein X is cyano; the cyclic amino group is a 6-membered saturated cyclic amine; n is 0; m is 0 or 1; R¹, R², R⁴ and R⁵ are hydrogen; R⁶ is C₁₋₅alkyl; R⁷ is hydrogen or C₁₋₅alkyl; and Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen and C₁₋₃alkyl, individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.
- 5. The thienopyrimidine derivative substituted with the cyclic amino group

according to claim 2 represented by formula [III], wherein X is hydroxy; the cyclic amino group is a 4- to 7-membered saturated cyclic amine; n is 0; m is an integer selected from 1, 2 and 3; R¹, R², R⁴ and R⁵ are hydrogen; R⁶ is C₁₋₅alkyl; R⁷ is hydrogen or C₁₋₅alkyl; and Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen, C₁₋₃alkyl, C₁₋₃alkoxy, C₁₋₃alkylthio, trifluoromethyl, trifluoromethoxy and –N(R²⁸)R²⁹ (wherein R²⁸ and R²⁹ are the same or different, and independently are hydrogen or C₁₋₃alkyl), individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.

- 6. The thienopyrimidine derivative substituted with the cyclic amino group according to claim 2 represented by formula [III], wherein X is hydroxy; the cyclic amino group is a 6-membered saturated cyclic amine; n is 0; m is an integer selected from 1, 2 and 3; R^1 , R^2 , R^4 and R^5 are hydrogen; R^6 is C_{1-5} alkyl; R^7 is hydrogen or C_{1-5} alkyl; and Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen and C_{1-3} alkyl, individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.
- 7. The thienopyrimidine derivative substituted with the cyclic amino group according to claim 2 represented by formula [III], wherein X is -CO₂R⁸ or -CONR⁹R¹⁰; the cyclic amino group is a 4- to 7-membered saturated cyclic amine; n is 0; m is an integer selected from 0, 1, 2 and 3; R¹, R², R⁴ and R⁵ are hydrogen; R⁶ is C₁₋₅alkyl; R⁷ is hydrogen or C₁₋₅alkyl; R⁸ is hydrogen or C₁₋₁₀alkyl; R⁹ and R¹⁰ are the same or different, and independently are hydrogen or C₁₋₅alkyl; and Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen, C₁₋₃alkyl, C₁₋₃alkoxy, C₁₋₃alkylthio, trifluoromethyl, trifluoromethoxy and –N(R²⁸)R²⁹ (wherein R²⁸ and R²⁹ are the same or different, and independently are hydrogen or C₁₋₃alkyl), individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.

- 8. The thienopyrimidine derivative substituted with the cyclic amino group according to claim 2 represented by formula [III], wherein X is -CO₂R⁸ or -CONR⁹R¹⁰; the cyclic amino group is a 6-membered saturated cyclic amine; n is 0; m is an integer selected from 0, 1, 2 and 3; R¹, R², R⁴ and R⁵ are hydrogen; R⁶ is C₁₋₅alkyl; R⁷ is hydrogen or C₁₋₅alkyl; R⁸ is hydrogen or C₁₋₁₀alkyl; R⁹ and R¹⁰ are the same or different, and independently are hydrogen or C₁₋₅alkyl; and Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen and C₁₋₃alkyl, individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.
- 9. The thienopyridine derivative substituted with the cyclic amino group according to claim 1 represented by the following formula [IV]:

$$X-(CHR^3)_{\overline{n}}(CR^1R^2)_m$$
 S Ar R^4 N R^5 R^6

(wherein X, m, n, the cyclic amino group, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R¹¹ and Ar are as defined in claim 1), individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.

10. The thienopyridine derivative substituted with the cyclic amino group according to claim 9 represented by formula [IV], wherein X is cyano; the cyclic amino group is a 4- to 7-membered saturated cyclic amine; n is 0; m is an integer selected from 1, 2 and 3; R¹, R², R⁴ and R⁵ are hydrogen; R⁶ is C₁₋₅alkyl; R⁷ is hydrogen or C₁₋₅alkyl; R¹¹ is hydrogen or C₁₋₅alkyl; and Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen, C₁₋₃alkyl, C₁₋₃alkoxy, C₁₋₃alkylthio, trifluoromethyl, trifluoromethoxy and –N(R²⁸)R²⁹ (wherein R²⁸ and R²⁹ are the same or different, and independently are hydrogen or C₁₋₃alkyl), individual isomers

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thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.

- 11. The thienopyridine derivative substituted with the cyclic amino group according to claim 9 represented by formula [IV], wherein X is cyano; the cyclic amino group is a 6-membered saturated cyclic amine; n is 0; m is 0 or 1; R¹, R², R⁴ and R⁵ are hydrogen; R⁶ is C₁₋₅alkyl; R⁷ is hydrogen or C₁₋₅alkyl; R¹¹ is hydrogen; and Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen and C1. 3alkyl, individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.
- The thienopyridine derivative substituted with the cyclic amino group 12. according to claim 9 represented by formula [IV], wherein X is hydroxy; the cyclic amino group is a 4- to 7-membered saturated cyclic amine; n is 0; m is an integer selected from 1, 2 and 3; R¹, R², R⁴ and R⁵ are hydrogen; R⁶ is C₁₋₅alkyl; R⁷ is hydrogen or C_{1-s}alkyl; R¹¹ is hydrogen or C_{1-s}alkyl; and Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different. selected from the group consisting of halogen, C₁₋₃alkyl, C₁₋₃alkoxy, C₁₋₃alkylthio, trifluoromethyl, trifluoromethoxy and $-N(R^{28})R^{29}$ (wherein R^{28} and R^{29} are the same or different, and independently are hydrogen or C₁₋₃alkyl), individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.
- 13. The thienopyridine derivative substituted with the cyclic amino group according to claim 9 represented by formula [IV], wherein X is hydroxy; the cyclic amino group is a 6-membered saturated cyclic amine; n is 0; m is an integer selected from 1, 2 and 3; R¹, R², R⁴ and R⁵ are hydrogen; R⁶ is C₁₋₅alkyl; R⁷ is hvdrogen or C₁₋₅alkyl; R¹¹ is hydrogen; and Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen and C₁₋₃alkyl, individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.

- 14. The thienopyridine derivative substituted with the cyclic amino group according to claim 9 represented by formula [IV], wherein X is $-CO_2R^8$ or $-CONR^9R^{10}$; the cyclic amino group is a 4- to 7-membered saturated cyclic amine; n is 0; m is an integer selected from 0, 1, 2 and 3; R^1 , R^2 , R^4 and R^5 are hydrogen; R^6 is C_{1-5} alkyl; R^7 is hydrogen or C_{1-5} alkyl; R^8 is hydrogen or C_{1-10} alkyl; R^9 and R^{10} are the same or different, and independently are hydrogen or C_{1-5} alkyl; R^{11} is hydrogen or C_{1-5} alkyl; and Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen, C_{1-3} alkyl, C_{1-3} alkoxy, C_{1-3} alkylthio, trifluoromethyl, trifluoromethoxy and $-N(R^{28})R^{29}$ (wherein R^{28} and R^{29} are the same or different, and independently are hydrogen or C_{1-3} alkyl), individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.
- 15. The thienopyridine derivative substituted with the cyclic amino group according to claim 2 represented by formula [IV], wherein X is $-CO_2R^8$ or $-CONR^9R^{10}$; the cyclic amino group is a 6-membered saturated cyclic amine; n is 0; m is an integer selected from 0, 1, 2 and 3; R^1 , R^2 , R^4 and R^5 are hydrogen; R^6 is C_{1-5} alkyl; R^7 is hydrogen or C_{1-5} alkyl; R^8 is hydrogen or C_{1-10} alkyl; R^9 and R^{10} are the same or different, and independently are hydrogen or C_{1-5} alkyl; R^{11} is hydrogen; and Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen and C_{1-3} alkyl, individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.
- 16. Compounds represented by formula [I] according to claim 1, which compounds are selected from the group consisting of
- {1-[7-(4-Bromo-2,6-dimethyl-phenyl)-2-methyl-thieno[3,2-d]pyrimidin-4-yl]-piperidin-4-yl}-methanol,
- {1-[7-(4-bromo-2,6-dimethyl-phenyl)-2,6-dimethyl-thieno[3,2-d]pyrimidin-4-yl}-methanol,

- 2-{1-[7-(4-bromo-2,6-dimethyl-phenyl)-2,6-dimethyl-thieno[3,2-d]pyrimidin-4-yl]-piperidin-4-yl}-ethanol,
- {1-[7-(4-bromo-2,6-dimethyl-phenyl)-2,6-dimethyl-thieno[3,2-d]pyrimidin-4-yl]-piperidin-4-yl}-acetonitrile,
- {1-[3-(2,4-dichloro-phenyl)-5-methyl-thieno[3,2-b]pyridin-7-yl]-piperidin-4-yl}-methanol,
- {1-[5-methyl-3-(2,4,6-trimethyl-phenyl)-thieno[3,2-b]pyridin-7-yl]-piperidin-4-yl}-methanol,
- {1-[3-(4-bromo-2,6-dimethyl-phenyl)-5-methyl-thieno[3,2-b]pyridin-7-yl]-piperidin-4-yl}-methanol,
- {1-[3-(4-bromo-2,6-dimethyl-phenyl)-2,5-dimethyl-thieno[3,2-b]pyridin-7-yl}-piperidin-4-yl}-methanol,
- {1-[3-(2,4-dibromo-phenyl)-5-methyl-thieno[3,2-b]pyridin-7-yl]-piperidin-4-yl}-methanol,
- {1-[5-methyl-3-(2,4,6-trichloro-phenyl)-thieno[3,2-b]pyridin-7-yl]-piperidin-4-yl}-methanol,
- 2-{1-[3-(4-bromo-2,6-dimethyl-phenyl)-5-methyl-thieno[3,2-b]pyridin-7-yl]-piperidin-4-yl}-ethanol,
- 2-{1-[3-(4-bromo-2,6-dimethyl-phenyl)-2,5-dimethyl-thieno[3,2-b]pyridin-7-yl]-piperidin-4-yl}-ethanol,
- 2-{1-[3-(2,4-dibromo-phenyl)-5-methyl-thieno[3,2-b]pyridin-7-yl]-piperidin-4-yl}-ethanol,
- 2-{1-[5-methyl-3-(2,4,6-trichloro-phenyl)-thieno[3,2-b]pyridin-7-yl]-piperidin-4-yl}-ethanol,
- 1-[5-methyl-3-(2,4,6-trimethyl-phenyl)-thieno[3,2-b]pyridin-7-yl]-piperidine-3-carbonitrile,
- {1-[3-(4-bromo-2,6-dimethyl-phenyl)-5-methyl-thieno[3,2-b]pyridin-7-yl]-piperidin-4-yl}-acetonitrile,
- {1-[3-(4-bromo-2,6-dimethyl-phenyl)-2,5-dimethyl-thieno[3,2-b]pyridin-7-yl]-piperidin-4-yl}-acetonitrile,
- {1-[3-(2,4-dibromo-phenyl)-5-methyl-thieno[3,2-b]pyridin-7-yl]-piperidin-4-yl}-acetonitrile
 - and {1-[5-methyl-3-(2,4,6-trichloro-phenyl)-thieno[3,2-b]pyridin-7-yl]-

piperidin-4-yl}-acetonitrile.

- 17. An antagonist for CRF receptors, comprising a thienopyrimidine or thienopyridine derivative substituted with a cyclic amino group, a pharmaceutically acceptable salt thereof or its hydrate according to any one of claims 1 to 16, as an active ingredient.
- 18. Use of a thienopyrimidine or thienopyridine derivative substituted with a cyclic amino group, a pharmaceutically acceptable salt thereof or its hydrate according to any one of claim 1 to 16, for the manufacture of a therapeutic agent as an antagonist for CRF receptors.